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NEWS 11 Jun 10 PCTFULL has been reloaded
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                saved answer sets no longer valid
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NEWS 15 Jul 30 NETFIRST to be removed from STN
NEWS 16 Aug 08 CANCERLIT reload
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                now available on STN
                IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 20 Aug 19
                The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 21 Aug 19
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
             February 1 CURRENT WINDOWS VERSION IS V6.0d,
NEWS EXPRESS
             CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
             AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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STRUCTURE UPLOADED L1

=> d L1 HAS NO ANSWERS L1 STR

G1 0, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 20:16:43 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

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PROJECTED ITERATIONS: PROJECTED ANSWERS:

11 TO 389

6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 20:16:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 217 TO ITERATE

100.0% PROCESSED 217 ITERATIONS

147 ANSWERS

SEARCH TIME: 00.00.01

L3 147 SEA SSS FUL L1

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=> s 13 full

L4 11 L3

## => d 14 1-11 ibib abs hitstr

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:428909 CAPLUS

DOCUMENT NUMBER: 137:6181

TITLE: Preparation of fused hydantoins as antiinflammatories.

INVENTOR(S): Iwanowicz, Edwin J.; Dhar, Murali T. G.; Launay, Michele; Potin, Dominique; Maillet, Magali Jeannine

Blandine; Nicolai, Eric Antoine

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Cerep SA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

Ι

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.						DATE			
WC						20020606			WO 2001-US45540 20011130								
	W:	AE.	AG.	AL.	AM.	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	***	CO.	CR.	CU.	CZ.	DE.	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM.	HR.	HU.	ID.	IL.	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS.	LT.	LU.	LV.	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT.	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŬĠ,
		US.	UZ.	VN.	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM	
	RW:	GH.	GM,	KE.	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
	• •	CY.	DE.	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF.	BJ.	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	$\mathtt{ML}$ ,	MR,	ΝE,	SN,	TD,	TG
PRIORITY APPLN. INFO					•	·	•	•	US 2	000-	2504	86P	P	2000	1201		
								US 2000-250653P			P	20001201					
									US 2	001-	7271	65P	P	2001	0228		

OTHER SOURCE(S): MARPAT 137:6181

GΙ

$$\mathbb{R}^{4}$$
?

 $\mathbb{R}^{4}$ ?

Title compds. [I; L, K = O, S; M = N, CH; Y = CH, N; Z = H, (substituted) alkyl; T = N, CH, CR3; R1 = QX; X = (hetero)aryl; Q = bond, O, NR10, S, CO, CO2, NR10CO, NR10CO2, (substituted) alkylene, alkenylene, bivalent alkoxy, alkylthio, alkylamino, aminoalkyl, alkylsulfonyl, alkylsulfonamide, acyl, alkoxycarbonyl; R1R3 = fused carbocyclyl, heterocyclyl; R3 = halo, (substituted) alkyl, alkenyl, alkynyl, NO2, cyano, OR8, NR8R9, CO2R8, COR8, CONR8R9, NR8CO2R9, NR8CO2R9, OC(O)R8, OC(O)NR8R9, SR8, SOQR8a, NR8SO2Rg, SO2NR5Rq, aryl, heteroaryl, heterocyclo, cycloalkyl, O; 2 adjacent R3 form a (substituted) carbocyclic or heterocyclic fused ring; R4a, R4b = H, halo, (substituted) alkyl, alkenyl, alkynyl, NO2, cyano, OH, alkoxy, alkoxy, PhO, PhCH2O, CO2H, CHO, amino, CO2A, COA, alkylthio; A = alkyl; R8, R9 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, heteroaryl, heterocyclyl; R8R9

```
= atoms to form a heterocyclic ring; R8a = (substituted) alkyl,
    cycloalkyl, aryl, heteroaryl, heterocyclo; R10 = H, (substituted) alkyl;
    Q1 = (CH2)s; Q2 = (CH2)r; n, s = 0, 1, 2; q = 1, 2, 3; r = 1, 2; with
    provisos], were prepd. as inhibitors of leukointegrin/ICAM assocd.
    conditions (no data). Thus, a mixt. of (7aS,6R)-2-(3,5-dichlorophenyl)-6-
    hydroxytetrahydropyrrolo[1,2-c]imidazole-1,3-dione (prepn. given), Ph3P,
    and 4-bromophenol in THF at 0.degree. was treated with diisopropyl
    azodicarboxylate (DIAD) in THF followed by warming to room temp. overnight
    to give (7aS,6S)-2-(3,5-dichlorophenyl)-6-(4-bromophenoxy)tetrahydropyrrol
    o[1,2-c]imidazole-1,3-dione.
    433289-16-8P, (7AS,6S)-2-(3,5-dichlorophenyl)-6-(4-
IT
    bromophenoxy) tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
     433289-20-4P 433289-21-5P 433289-22-6P
     433289-25-9P, (7AS,6S)-2-(3,5-dichlorophenyl)-6-(4-
    bromobenzoyloxy) tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
     433289-27-1P, (6S,7AS)-6-(4-bromobenzyloxy)-2-(3,5-
    dichlorophenyl)tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
     433289-28-2P 433289-29-3P 433289-30-6P
     433289-31-7P 433289-32-8P 433289-33-9P
     433289-34-0P 433289-38-4P 433289-39-5P
     433289-40-8P 433289-41-9P 433289-42-0P
     433289-43-1P 433289-44-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of fused hydantoins as antiinflammatories)
     433289-16-8 CAPLUS
RN
     1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-(4-bromophenoxy)-2-(3,5-
CN
     dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 433289-20-4 CAPLUS

CN Benzonitrile, 4-[[[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 433289-21-5 CAPLUS

CN Acetamide, N-[(4-cyanophenyl)methyl]-N-[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-22-6 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-7a(5H)-acetic acid, 6-[(4-cyanophenyl)methoxy]-2-(3,5-dichlorophenyl)tetrahydro-1,3-dioxo-, methyl ester, (6R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-25-9 CAPLUS

CN Benzoic acid, 4-bromo-, (6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-27-1 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[(4-bromophenyl)methoxy]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-28-2 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-(phenylmethoxy)-, (6R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-29-3 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[[(4-bromophenyl)methyl]thio]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)

433289-30-6 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[(4-bromophenyl)methoxy]-2-CN (3,5-dichlorophenyl)tetrahydro-, (6R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

433289-31-7 CAPLUS RN

Benzonitrile, 4-[[[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-CN pyrrolo[1,2-c]imidazol-6-yl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

433289-32-8 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[(3-bromophenyl)methoxy]-2-CN (3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)

RN 433289-33-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-34-0 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-(7-isoquinolinylmethoxy)-, (6S,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-38-4 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[[(4-bromophenyl)methyl]methylamino]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)

RN 433289-39-5 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[[2-(4-bromophenyl)ethyl]amino]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-40-8 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[[3-(4-bromophenyl)propyl]amino]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Br 
$$(CH_2)_3$$
  $\stackrel{H}{N}$   $\stackrel{O}{N}$   $\stackrel{O}{N}$   $\stackrel{C1}{N}$   $\stackrel{C1}{N}$ 

RN 433289-41-9 CAPLUS

CN Benzonitrile, 4-[[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]ethylamino]methyl]- (9CI) (CA INDEX NAME)

RN 433289-42-0 CAPLUS

CN Benzonitrile, 4-[[[(6S,7aS)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-43-1 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[(4-bromophenyl)methoxy]-2-(3,5-dichlorophenyl)tetrahydro-7a-methyl-, (6R,7aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433289-44-2 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-[(4-bromophenyl)methoxy]-2-(3,5-dichlorophenyl)tetrahydro-7a-(hydroxymethyl)-, (6S,7aR)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:90045 CAPLUS

DOCUMENT NUMBER: 136:151436

TITLE: Preparation of combinatorial libraries of

N-arylsulfonyl-N-diazadioxobicyclooctyl amino acid

amides as drugs

INVENTOR(S): Lu, Shao-Po; Hebert, R. Normand PATENT ASSIGNEE(S): Lion Bioscience A.-G., Germany

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
KIND DATE
                                              APPLICATION NO. DATE
     PATENT NO.
     _____
     WO 2002008227
                       A2
                              20020131
                                             WO 2001-EP8322 20010718
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2000-621177 A 20000721
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                          MARPAT 136:151436
     RZN(SO2R1)CHR2CONH2 [I; R = (un)substituted Ph or CH2Ph; R1 =
AΒ
     2-methoxycarbonyl-3-thienyl, substituted Ph, etc.; R2 = amino acid side
     chain; Z = 1,3-diaza-2,4-dioxobicyclo[3.3.0]octane-3,6-diyl] were prepd.
     Data for antibacterial activity of I were given.
     393876-35-2P 393876-37-4P 393876-38-5P
IT
     393876-39-6P 393876-40-9P 393876-41-0P
     393876-42-1P 393876-43-2P 393876-44-3P
     393876-45-4P 393876-46-5P 393876-47-6P
     393876-48-7P 393876-50-1P 393876-51-2P
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     393876-62-5P 393876-63-6P 393876-65-8P
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393876-66-9P 393876-67-0P 393876-68-1P 393876-69-2P 393876-70-5P 393876-71-6P 393876-72-7P 393876-73-8P 393876-74-9P 393876-75-0P 393876-76-1P 393876-77-2P 393876-79-4P 393876-80-7P 393876-81-8P 393876-82-9P 393876-83-0P 393876-84-1P 393876-85-2P 393876-86-3P 393876-87-4P 393876-88-5P 393876-89-6P 393876-90-9P 393876-91-0P 393876-92-1P 393876-93-2P 393876-94-3P 393876-95-4P 393876-96-5P 393876-97-6P 393876-99-8P 393877-00-4P RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (prepn. of combinatorial libraries of N-arylsulfonyl-Ndiazadioxobicyclooctyl amino acid amides as drugs) RN 393876-35-2 CAPLUS 2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-2-oxo-1-CN (phenylmethyl) ethyl] [(6S,7aS)-2-(3-fluorophenyl) hexahydro-1,3-dioxo-1Hpyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) INDEX NAME)

Absolute stereochemistry.

RN 393876-37-4 CAPLUS

2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl][(6S,7aS)-2-(4-butoxyphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-38-5 CAPLUS CN Butanamide, 2-[[(6S,7aS)-2-(3,5-dimethylphenyl)hexahydro-1,3-dioxo-1H-

pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-3-methyl-,
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-39-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-hexahydro-1,3-dioxo-2-phenyl-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-40-9 CAPLUS

CN Butanamide, 2-[[(6S,7aS)-hexahydro-2-(2-methoxyphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-41-0 CAPLUS

CN Propanamide, 2-[[(6S,7aS)-hexahydro-2-(3-methylphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-42-1 CAPLUS

CN Propanamide, 2-[[(2,4-difluorophenyl)sulfonyl][(6S,7aS)-2-(2-fluorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-43-2 CAPLUS

CN Butanamide, 2-[[(65,7aS)-2-(2,4-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-3-methyl-,

Absolute stereochemistry.

RN 393876-44-3 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[(1S)-2-amino-1-[(4-chlorophenyl)methyl]-2-oxoethyl][(6S,7aS)-2-(3,4-dimethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-45-4 CAPLUS

CN Butanamide, 2-[[(6S,7aS)-hexahydro-2-[(1-methylethyl)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-46-5 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(6S,7aS)-2-(4-butylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-47-6 CAPLUS

CN Cyclohexanepropanamide, .alpha.-[[(3-fluorophenyl)sulfonyl][(6S,7aS)-hexahydro-2-[3-(methylthio)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

393876-48-7 CAPLUS RN

Pentanamide, 2-[[(4-bromophenyl)sulfonyl][(6S,7aS)-hexahydro-1,3-dioxo-2-CN (2,4,5-trimethylphenyl)-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-4-methyl-, (2S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

393876-50-1 CAPLUS
Pentanamide, 2-[[(6S,7aS)-2-(2-chlorophenyl)hexahydro-1,3-dioxo-1H-CN pyrrolo[1,2-c]imidazol-6-yl][(2-fluorophenyl)sulfonyl]amino]-4-methyl-, (2S) - (9CI)(CA INDEX NAME)

393876-51-2 CAPLUS RN

Propanamide, 2-[[(6S,7aS)-2-(4-butoxyphenyl)hexahydro-1,3-dioxo-1H-CN pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

393876-52-3 CAPLUS
Pentanamide, 2-[[(3-fluorophenyl)sulfonyl][(6S,7aS)-hexahydro-1,3-dioxo-2-CN (2,4,5-trimethylphenyl)-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

393876-53-4 CAPLUS RN

Pentanamide, 2-[[(4-bromophenyl)sulfonyl][(6S,7aS)-hexahydro-2-(3-CN methylphenyl)-1,3-dioxo-1H-pyrrolo[1,2c]imidazol-6-yl]amino]-4-methyl-, (2S) - (9CI) (CA INDEX NAME)

RN 393876-54-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl][(6S,7aS)-hexahydro-2-(4-methylphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-55-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-hexahydro-2-(3-methylphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 393876-56-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-2-(3-ethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-57-8 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(2,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-58-9 CAPLUS

CN Propanamide, 2-[[(6S,7aS)-2-(4-butylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(2,4-dichlorophenyl)sulfonyl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-59-0 CAPLUS

CN Butanamide, 2-[[(6S,7aS)-hexahydro-2-(3-methoxyphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

393876-61-4 CAPLUS RN

Benzenepropanamide, .alpha.-[[(6S,7aS)-hexahydro-1,3-dioxo-2-(2,4,5-trimethylphenyl)-1H-pyrrolo[1,2-c]imidazol-6-yl][[3-CN (trifluoromethyl)phenyl]sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

393876-62-5 CAPLUS RN

Cyclohexanepropanamide, .alpha.-[[(6S,7aS)-2-(2-ethylphenyl)hexahydro-1,3-CN dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-, (.alpha.S) - (9CI) (CA INDEX NAME)

RN 393876-63-6 CAPLUS
CN Butanamide, 2-[[(3-fluorophenyl)sulfonyl][(6S,7aS)-hexahydro-2-[4-(methylthio)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-65-8 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(3-ethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-66-9 CAPLUS

Propanamide, 2-[[(2,4-dichlorophenyl)sulfonyl][(6S,7aS)-2-(3-ethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-67-0 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(6S,7aS)-2-(4-butoxyphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-68-1 CAPLUS

Cyclohexanepropanamide, .alpha.-[[(6S,7aS)-2-(3-ethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-69-2 CAPLUS

CN Pentanamide, 2-[[(2-cyanophenyl)sulfonyl][(6S,7aS)-hexahydro-2-(2-methoxy-5-methylphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-70-5 CAPLUS

CN Propanamide, 2-[[(6S,7aS)-hexahydro-2-[4-(methylthio)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-71-6 CAPLUS

CN Butanamide, 2-[[(2-bromophenyl)sulfonyl][(6S,7aS)-hexahydro-2-[2-methyl-6-(1-methylethyl)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-72-7 CAPLUS

CN Benzenepropanamide, 4-chloro-.alpha.-[[(4-chlorophenyl)sulfonyl][(6S,7aS)-2-(4-fluorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-73-8 CAPLUS
CN Propanamide, 2-[[(6S,7aS)-hexahydro-2-(4-methylphenyl)-1,3-dioxo-1Hpyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-,
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-74-9 CAPLUS
CN Pentanamide, 2-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(2,5-dimethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-75-0 CAPLUS

CN Cyclohexanepropanamide, .alpha.-[[(4-bromophenyl)sulfonyl][(6S,7aS)-hexahydro-2-[3-(methylthio)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-76-1 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(6S,7aS)-2-(4-butylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(4-fluorophenyl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

## 10000389

RN

393876-77-2 CAPLUS
Butanamide, 2-[[(6S,7aS)-2-(5-fluoro-2-methylphenyl)hexahydro-1,3-dioxo-1H-CN pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-3methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

393876-79-4 CAPLUS RN

2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-CN 2-oxoethyl] [(6S,7aS)-2-(2,4-dichlorophenyl)hexahydro-1,3-dioxo-1Hpyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 393876-80-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[((1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl][(6S,7aS)-hexahydro-2-[3-(methylthio)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-81-8 CAPLUS

CN Benzenepropanamide, .alpha.-[[(6S,7aS)-2-(3-fluorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl](2-thienylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-82-9 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[((1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-2-(2-fluorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-83-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-2-(3-chlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-84-1 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(2,4-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

## 10000389

RN 393876-85-2 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(6S,7aS)-2-(4-butylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl](2-thienylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-86-3 CAPLUS

CN Propanamide, 2-[[(6S,7aS)-2-(2,5-dimethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-87-4 CAPLUS

CN Propanamide, 2-[[(6S,7aS)-2-(4-butoxyphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(2,4-dichlorophenyl)sulfonyl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-88-5 CAPLUS

CN Pentanamide, 2-[[(6S,7aS)-hexahydro-2-(4-methoxyphenyl)-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393876-89-6 CAPLUS

CN Cyclohexanepropanamide, .alpha.-[[(6S,7aS)-2-(3,5-dimethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-90-9 CAPLUS

CN Benzenepropanamide, .alpha.-[[(6S,7aS)-2-(5-fluoro-2-methylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

393876-91-0 CAPLUS RN

Benzenepropanamide, .alpha.-[[(6S,7aS)-2-(2,4-dimethylphenyl)hexahydro-1,3dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4-(trifluoromethyl)phenyl]sulfonyl] CN amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Cyclohexanepropanamide, .alpha.-[[(6S,7aS)-2-(2,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3-fluorophenyl)sulfonyl]amino]-393876-92-1 CAPLUS RNCN , (.alpha.S)- (9CI) (CA INDEX NAME)

RN 393876-93-2 CAPLUS
CN Benzenepropanamide, .alpha.-[[(6S,7aS)-2-[4-(dimethylamino)phenyl]hexahydr
o-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][[4(trifluoromethyl)phenyl]sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 393876-94-3 CAPLUS

2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]2-oxoethyl][(6S,7aS)-hexahydro-2-[4-(1-methylethyl)phenyl]-1,3-dioxo-1Hpyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA
INDEX NAME)

393876-95-4 CAPLUS RN

2-Thiophenecarboxylic acid, 3-[[[(1S)-2-amino-1-[(4-methoxyphenyl)methyl]-2-oxoethyl][(6S,7aS)-2-(2,6-difluorophenyl)hexahydro-1,3-dioxo-1H-CN pyrrolo[1,2-c]imidazol-6-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

393876-96-5 CAPLUS RN

1H-Imidazole-4-propanamide, .alpha.-[[(6S,7aS)-2-(4-butylphenyl)hexahydro-CN 1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl][(3,4difluorophenyl)sulfonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

# 10000389

RN 393876-97-6 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(4-butylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393876-99-8 CAPLUS

CN Pentanamide, 2-[[(4-bromophenyl)sulfonyl][(6S,7aS)-2-(2,4-dimethylphenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 393877-00-4 CAPLUS

CN Cyclohexanepropanamide, .alpha.-[[(3-fluorophenyl)sulfonyl][(6S,7aS)-hexahydro-2-[2-methyl-6-(1-methylethyl)phenyl]-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:319894 CAPLUS

DOCUMENT NUMBER: 134:326532

TITLE: Preparation of 3-(hetero)aryl-1,3-

diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of .alpha.1.beta.2 mediated cell adhesion

INVENTOR(S): Sircar, Ila; Furth, Paul; Teegarden, Bradley R.;

Morningstar, Marshall; Smith, Nicholas; Griffith,

Ronald C.

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
                 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
                 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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                 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                              MARPAT 134:326532
OTHER SOURCE(S):
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Title compds. (I) [wherein A = :CZ1 or :N; B = CH:CH, S, SO, SO2, O, or AB (un) substituted N or CH2; K = CH2, CHOH, CO, or CF2, M = a bond, (CH2)p, CO, or NH; W = CQ, CR6C(:Q), or C(:Q)CR6; X and Y = independently H, halo, NO2, CN, alkylthio, (halo)alkyl, alkoxy, acyl, or (un)substituted amino or (hetero)aryl; Z and Z1 = independently H, OH, halo, NO2, CF3, acyl, (un) substituted amino, carbamoyl, or alkoxy; P and Q = independently O or S; R = (un)substituted (hetero)aryl; R6 = H or (un)substituted alkyl; m = 0-3; n = 0-2; p and q = independently 1 or 2; or a pharmaceuticallyacceptable salt thereof] were prepd. as inhibitors of .alpha.1.beta.2 mediated cell adhesion. For example, 4-bromobenzyl bromide was added to N-(tert-butoxycarbonyl)proline Me ester in THF, the proline deprotected using TFA, 3,5-dichlorophenyl isocyanate added in the presence of DIEA in THF, and the dichlorophenylcarbamoyl deriv. cyclized using NaOEt in EtOH to afford II. In the Jurkat/ICAM-1 adhesion assay, I gave IC50 values from low nM to .mu.M. I are useful in the treatment of a variety of inflammatory diseases, including psoriasis, rheumatoid arthritis, inflammatory bowel diseases, systemic lupus erythematosus, atopic dermatitis, Sjogren's Syndrome, rejection after transplantation, and graft vs. host disease (no data).

#### IT 336817-46-0P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of .alpha.l.beta.2 mediated cell

adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]prolin e derivs.)

336817-46-0 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-CN (3,5-dichlorophenyl)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]tetrahydro-, (6R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### 336817-55-1P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of .alpha.1.beta.2 mediated cell adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]prolin e derivs.)

336817-55-1 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-CN (3,5-dichlorophenyl)tetrahydro-6-[(methylsulfonyl)oxy]-, (6R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### 336817-49-3P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane2,4-diones and analogs as inhibitors of .alpha.1.beta.2 mediated cell adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]prolin e derivs.)

336817-49-3 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-CN (3,5-dichlorophenyl)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]tetrahydro-, (6R, 7aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

336812-15-8P 336812-17-0P 336812-60-3P IT 336812-61-4P 336812-74-9P 336812-84-1P 336812-85-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of .alpha.1.beta.2 mediated cell adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]proline derivs.)

336812-15-8 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-CN (3,5-dichlorophenyl)tetrahydro-6-hydroxy-, (6R,7aS)- (9CI) (CA INDEX NAME)

RN 336812-17-0 CAPLUS
CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-(acetyloxy)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336812-60-3 CAPLUS
CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-azido-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336812-61-4 CAPLUS
CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-amino-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aR)- (9CI) (CA INDEX NAME)

336812-74-9 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-, (6S,7aR)- (9CI) (CA INDEX CNNAME)

Absolute stereochemistry.

336812-84-1 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-azido-7a-[(4bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-, (6R,7aR)- (9CI) CN (CA INDEX NAME)

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IT
    336812-16-9P 336812-18-1P 336812-62-5P
    336812-63-6P 336812-64-7P 336812-88-5P
    336812-89-6P 336812-90-9P 336812-91-0P
    336812-92-1P 336812-93-2P 336816-15-0P
    336816-19-4P 336816-63-8P 336816-65-0P
    336816-67-2P 336816-69-4P 336816-71-8P
    336816-73-0P 336816-75-2P 336816-77-4P
    336816-79-6P 336816-81-0P 336816-83-2P
    336816-85-4P 336816-87-6P 336818-92-9P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and
        analogs as inhibitors of .alpha.1.beta.2 mediated cell adhesion by
        cyclization and reaction of N-[(hetero)arylcarbamoyl]proline derivs.)
     336812-16-9 CAPLUS
RN
     1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-azido-7a-[(4-
CN
    bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI)
     (CA INDEX NAME)
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Absolute stereochemistry.

336812-18-1 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-, (6S,7aS)- (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

336812-62-5 CAPLUS RN

Acetamide, N-[(6S,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) CN (CA INDEX NAME)

RN 336812-63-6 CAPLUS

CN Methanesulfonamide, N-[(6S,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336812-64-7 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)-6-(dimethylamino)tetrahydro-, (6S,7aR)-(9CI) (CA INDEX NAME)

RN 336812-88-5 CAPLUS

CN Acetamide, N-[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336812-89-6 CAPLUS

CN Benzamide, N-[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

336812-90-9 CAPLUS RN

Benzoic acid, 4-[[[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

336812-91-0 CAPLUS RN

Benzamide, N-[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]-4-CN (dimethylamino) - (9CI) (CA INDEX NAME)

336812-92-1 CAPLUS

Benzoic acid, 4-[[[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-RN dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

336812-93-2 CAPLUS
Benzoic acid, 4-[[[(6R,7aR)-7a-[(4-bromophenyl)methyl]-2-(3,5-RN dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-CN yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 336816-15-0 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-7a-(phenylmethyl)-, (6R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336816-19-4 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-7a-[[4-(trifluoromethoxy)phenyl]methyl]-, (6R,7aS)- (9CI) (CA INDEX NAME)

### 10000389

RN 336816-63-8 CAPLUS
CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-azido-2-(3,5-dichlorophenyl)tetrahydro-7a-[[4-(trifluoromethoxy)phenyl]methyl]-, (6S,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$rac{c1}{R}$$

RN 336816-65-0 CAPLUS

CN Acetamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336816-67-2 CAPLUS

CN Cyclopropanecarboxamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]- (9CI) (CA INDEX NAME)

336816-69-4 CAPLUS RN

3-Pyridinecarboxamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-CN yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

336816-71-8 CAPLUS 3-Pyridinecarboxamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-RN dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-CN yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 336816-73-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]-5-oxo-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336816-75-2 CAPLUS

CN Butanediamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]-(9CI) (CA INDEX NAME)

336816-77-4 CAPLUS RN

1-Piperazinebutanamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-CNyl]-4-methyl-.gamma.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & &$$

RN

Carbamic acid, [(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]-, ethyl CN ester (9CI) (CA INDEX NAME)

336816-81-0 CAPLUS RN

1-Pyrrolidinecarboxamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3dioxo-7a-[[4-(trifluoromethoxy)phenyl]methyl]-lH-pyrrolo[1,2-c]imidazol-6-CN yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

336816-83-2 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-amino-2-(3,5dichlorophenyl)tetrahydro-7a-[[4-(trifluoromethoxy)phenyl]methyl]-, CN (6S,7aR)- (9CI) (CA INDEX NAME)

$$H_2N$$
  $S$   $R$   $O$   $O$   $C1$   $C1$ 

336816-85-4 CAPLUS

Acetamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-RN(trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]-N-methyl-CN(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Butanamide, N-[(6S,7aR)-2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-7a-[[4-RN (trifluoromethoxy)phenyl]methyl]-1H-pyrrolo[1,2-c]imidazol-6-yl]-4-CN (dimethylamino) - (9CI) (CA INDEX NAME)

$$Me_2N \qquad (CH_2)_3 \qquad H \qquad S \qquad N \qquad O \qquad C1$$

$$F_3C \qquad O \qquad S$$

RN 336818-92-9 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-7a-[[4-(trifluoromethoxy)phenyl]methyl]-, (6R,7aR)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:78243 CAPLUS

DOCUMENT NUMBER: 134:131537

TITLE: Novel N-aryl cycloalkyl fused imidazolediones useful

in the treatment of inflammatory disease

INVENTOR(S): Kelly, Terence Alfred; Wu, Jiang-Ping; Kuzmich, Daniel

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		~		
WO 2001007052	A1 MY	20010201	WO 2000-US17752	20000628

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 6365615 B1 20020402 US 2000-605675 20000628
PRIORITY APPLN. INFO.: US 1999-144894P P 19990721
OTHER SOURCE(S): MARPAT 134:131537
GI

AB Novel N-aryl cycloalkyl fused imidazolediones I [Y and Z independently = O or S; R1 = H, (un) substituted unbranched or branched alkyl or cycloalkyl, alkoxy or acyloxy; R2 = (un) substituted aryl; R3 = H, OH, alkoxy, acyloxy, or (un)substituted unbranched or branched alkyl or cycloalkyl; R4 = Cl or CF3; X = N or CR5 where R5 = H, halo, Me, or CF3; R6 = H, halo, Me, CN, NO2 or CF3 with condition that when X = N or CH, R6 = Cl or CF3; A = (CHR8)m where m = 0 or 1; W = (CHR9)n where n = 0 or 1 and m + n = 1 or 2; R7, R8 and R9 independently = H, oxo, R10, OR10, NHR10, COR10, CONHR10, CO2R10, SO2R10 or SR10 wherein R10 = H, (un)substituted branched or unbranched alkyl or cycloalkyl, alkylcarboxylic acid, alkylphosphonic acid, alkylamidino, etc.] which are useful for treating or preventing inflammatory and immune cell-mediated diseases are disclosed as well as methods for their prepn. Thus, II was prepd. in four steps via a cyclocondensation reaction of an intermediate N-(3,5-dichlorophenylamido)-3-phenylpyrrolidin-2-yl carboxylic acid. The title compds. possessed Kd values < 10 .mu.M for inhibition of LFA-1 binding to ICAM-1. Pharmaceutical compns. of I suitable for prevention or treatment of inflammatory and immune cell-mediated conditions are disclosed.

IT 321983-24-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and biol. activity of N-aryl cycloalkyl fused imidazolediones as antiinflammatory agents)

RN 321983-24-8 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 7-(4-bromophenyl)-2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy-, (6R,7S,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1998:604903 CAPLUS

DOCUMENT NUMBER:

129:202944

TITLE:

Preparation of intermediates and 1,3-dioxo-1H-

pyrrolo[1,2-c]imidazoles

INVENTOR(S):

Taylor, Eric Deguyon; Petrov, Viacheslav

Alexandrovich; Schaefer, Matthias; Drauz, Karlheinz; Vogt, Anne; Weckbecker, Christoph; Swearingen, Steven

H.; Kamireddy, Balreddy

PATENT ASSIGNEE(S):

E.I. Du Pont de Nemours and Co., USA; Degussa A.-G.

SOURCE:

GI

PCT Int. Appl., 58 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1 11911

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	٥.	DATE			
									_				- <i>-</i>				
WO	9837	065		Α	1	1998	0827		W	0 19	98-U	s272:	1	19980	0213		
	W:	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	GW,
		HU,	ID,	IL,	IS,	JP,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,
		MK,	MN,	MX,	NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,
		UΑ,	US,	UΖ,	VN,	YU,	ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	GM,	KE,	LS,	ΜW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,
		FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		•		•	•	ΝE,	•	•									
	9861													1998			
EP	9737	39		A	1	2000	0126		E	P 19	98-9	0636	3	19980	0213		
		DE,	•														
US	6384	234		В	1	2002	0507				-			-	_		
PRIORIT	Y APP	LN.	INFO	.:										1997			
									—					1998	0213		
OTHER S	OURCE	(S):			CAS	REAC'	r 129	9:20	2944	; MA	RPAT	129	:202	944			

#### 10000389

AB Title compds. [I; R1 = haloalkyl, alkoxyalkyl, cyanoalkyl, etc.; R2 = H, (halo)alkyl, alkanoyl, alkoxycarbonyl, etc.; R3 = H or OH; R4,X = H, F, Cl; Y = F or Cl; R5 = OH; R6 = H; R5R6 = bond] were prepd. Thus, N-(2-chloro-4-fluoro-5-isocyanatophenyl)chloromethanesulfonamide (prepn. given) was amidated by cis-4-hydroxy-D-proline to give I (R1 = Y = C1, R2 = H, X = F)(II; R4 = R6 = H, R3 = R5 = OH) which was cyclized and the product fluorinated to give II (R3 = H, R4 = F, R5R6 = bond).

ΙT 190314-60-4P

RN

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of intermediates and 1,3-dioxo-1H-pyrrolo[1,2-c]imidazoles)

190314-60-4 CAPLUS Methanesulfonamide, 1-chloro-N-[2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-CN1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)phenyl]-, (6R-trans)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1997:390665 CAPLUS

DOCUMENT NUMBER: 127:17676

Herbicidal N-(heterocyclylphenyl) sulfonamides as TITLE:

herbicides

INVENTOR(S): Adams, Edward John; Drauz, Karlheinz; Hong, Wonpyo;

Kamireddy, Balreddy; Petersen, Wallace Christian; Schafer, Matthias; Weckbecker, Christoph; et al.

E.I. Du Pont De Nemours and Company, USA; Adams, PATENT ASSIGNEE(S):

Edward John; Drauz, Karlheinz; Hong, Wonpyo

SOURCE: PCT Int. Appl., 314 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			1	APPLI	CATI	ON NO	ο.	DATE			
WO	9715	576		A	1	1997	0501		7	7O 19	96-บ	S161:	11	1996	1008		
	W:	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
		IL,	IS,	JP,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,
		MX,	NO,	NZ,	PL,	RO,	RU,	SG,	SI	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	US,
		UZ,	VN,	AM,	AZ,	BY,	KG,	KZ,	MD	RU,	TJ,	TM					
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			-			•	•				CF,		•	•	•		•
			•	SN,	•	•	•	•		•	•	•		•	•	•	•
AU	9675	153	·	A	1	1997	0515		7	AU 19	96-7	5153		1996	1008		
AU	7123	62		B:	2	1999	1104										
ZA	9608	478		Α		1998	0408		2	IA 19	96-8	478		1996	1008		
	8625																
	R:	AT,	BE,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	ΙE						
CN	1202	172	•	Ā	•	1998	1216	•	(	N 19	96-1	9787	1	1996	1008		
	9611					1999	0525		]	3R 19	96-1	1133		1996	1008		
	1151																
	6060																
PRIORIT	Y APP	LN.	INFO	. :				•	US :	1995-	7031	P	P	1995	1025		
									US :	1996-	1232	9 P	P	1996	0227		
								1	WO :	1996-	US16	111	W	1996	1008		
OTHER S	OURCE	(S):			MAR	PAT	127:										

AB The title compds. [I; J = (un)substituted heterocyclyl, (un)substituted heterocyclylcarboxamido, (un)substituted heterocyclylideneimino, etc.; R1 = C1-6 alkyl, C1-6 haloalkyl, C3-6 alkenyl, etc.; R2 = C1-C6 alkoxy, C1-C6 haloalkoxy, C1-C6 haloalkyl, C3-C6 cycloalkyl, C3-C6 halocycloalkyl, C2-C6 alkoxyalkyl, C2-C6 haloalkoxyalkyl, C2-C6 alkenyl, C2-C6 haloalkenyl, C3-C6 alkoxyalkenyl, C2-C6 alkynyl, C2-C6 haloalkynyl, C2-C6 cyanoalkyl, C1-C6 nitroalkyl, (CH2)p-OR6, CH=CH(CH2)q-OR6, C.tplbond.C(CH2)q-OR6,

C2-C6 alkylthioalkyl, C2-C6 alkylsulfinylalkyl, C2-C6 alkylsulfonylalkyl, C3-C8 alkoxycarbonylalkyl, C3-C8 alkylcarbonyloxyalkyl, or oxiranyl optionally substituted with 1-3 C1-C3 alkyl; R6 = C1-3 alkylsulfonyl, dialkoxyphosphinyl, (alkyl)phenylsulfonyl, etc.; X = H, F, Cl; Y = F, Cl, Br, cyano, nitro, C1-3 haloalkyl, etc.] and their N-oxides and agriculturally suitable salts are prepd. Thus, the title compd. II was prepd. in 8 steps from N-(4-chloro-2-fluorophenyl)acetamide, chloromethylsulfonyl chloride, phosgene, and 4-cis-D-hydroxyproline. III (also prepd.) at 2000 g/ha had a 100% kill against barnyard grass.

тт 190314-60-4P

> RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of herbicidal (heterocyclylphenyl) sulfonamides)

RN 190314-60-4 CAPLUS

Methanesulfonamide, 1-chloro-N-[2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-CN 1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)phenyl]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS

1997:196176 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 126:196422

TITLE: Preparation of bicyclic imides as herbicides

Schafer, Matthias; Drauz, Karlheinz; Feit, Dieter; INVENTOR(S):

Amuti, Kofi S.

E. I. Du Pont De Nemours and Company, USA PATENT ASSIGNEE(S):

SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 942,800,

abandoned

CODEN: USXXAM

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5605877	A	19970225	US 1995-397282	19950310
WO 9405668	A1	19940317	WO 1993-EP2413	19930906
tr. att bo	חמ אח	DV CA CT	מע מע מד זונו דם	V7 TV MC

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN,

MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
DE 9321642 U1 20011213 DE 1993-9321642 19930906
PRIORITY APPLN. INFO.: US 1992-942800 B2 19920910
WO 1993-EP2413 W 19930906
DE 1993-69329683 A 19930906

OTHER SOURCE(S):

MARPAT 126:196422

GI

AΒ The title compds. I [Q = (un)substituted Ph, 2-phenyldioxolane,benzodioxole, etc.; R = OH, halo, alkyl, CN, etc.; m = 1-7] are prepd. as herbicides. I may be used, i.a., in pre-emergence application to peanut. IT 157299-29-1P 157299-30-4P 157299-32-6P 157299-33-7P 157299-34-8P 157299-35-9P 157379-57-2P 157379-58-3P 157379-59-4P 157379-60-7P 157379-61-8P 187750-03-4P 187750-11-4P 187750-16-9P RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. as herbicide) 157299-29-1 CAPLUS RNBenzoic acid, 2-chloro-4-fluoro-5-[tetrahydro-1,3-dioxo-6-CN [(trimethylsilyl)oxy]-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-, 1-methylethyl ester, (6S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-30-4 CAPLUS

CN Benzoic acid, 5-[6-(acetyloxy)tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2-chloro-4-fluoro-, 1-methylethyl ester, (6R-trans)-(9CI) (CA INDEX NAME)

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RN 157299-32-6 CAPLUS

CN Carbonic acid, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl methyl ester, (6S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157299-33-7 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-[(methylsulfonyl)oxy]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-34-8 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-methoxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-35-9 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(4-chlorophenyl)tetrahydro-6-hydroxy- (9CI) (CA INDEX NAME)

RN 157379-57-2 CAPLUS

CN Benzoic acid, 5-[6-(acetyloxy)tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2-chloro-4-fluoro-, 1-methylethyl ester, (6S-cis)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-58-3 CAPLUS

CN Carbonic acid, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl methyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

RN 157379-59-4 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-hydroxy-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-60-7 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-61-8 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 187750-03-4 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-[tetrahydro-1,3-dioxo-6-[(trimethylsilyl)oxy]-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-, 1-methylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

187750-11-4 CAPLUS RN

Benzoic acid, 5-[6-(acetyloxy)tetrahydro-1,3-dioxo-1H-pyrrolo[1,2c]imidazol-2(3H)-yl]-2-chloro-4-fluoro-, 1-methylethyl ester, CN(7aR)-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

187750-16-9 CAPLUS RN

1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1methylethoxy)phenyl]tetrahydro-6-hydroxy-, (7aR)-[partial]- (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

187750-12-5 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (reactant in prepn. of bicyclic imide herbicide) RN 187750-12-5 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (7aR)-[partial]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1996:608903 CAPLUS

DOCUMENT NUMBER: 125:316198

TITLE: New 7-hydroxy-1,3-diazabicyclo[3.3.0]octane

derivatives: evaluation of their in vitro

immunomodulating effects

AUTHOR(S): Issartel, V.; Spehner, V.; Bahaji, H.; Seilles, E.;

Couquelet, J.

CORPORATE SOURCE: Faculte de Pharmacie, Groupe de Recherche en

Pharmacochimie, Clermont-Ferrand, 63001, Fr.

SOURCE: European Journal of Medicinal Chemistry (1996), 31(9),

717-723

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In order to improve the water soly. of some previously reported immunoactive dioxothiadiazabicyclo[3.3.0]octanes, we synthesized a series of new diazabicyclo[3.3.0]octanols from the trans-4-hydroxy-L-proline Me ester in two steps. Acylation of the ester with an isocyanate or an isothiocyanate under the appropriate conditions afforded N-acylated derivs. exclusively. Then through a cyclization process in the presence of sodium methylate, bicyclic derivs. were obtained, most of them as a mixt. of two diastereomers which were sepd. by column chromatog. A mitogenic stimulation assay using the T-cell mitogen phytohemagglutinin was performed with human peripheral blood leukocytes in the presence of the different synthesized compds. and with levamisole as ref. Several compds. showed marked stimulant effects on the proliferation of lymphocytes as compared to levamisole, but no correlation could be established between mol. configuration and stimulation or inhibition effects on proliferation.

IT 183290-18-8P 183290-19-9P 183506-52-7P 183506-53-8P 183506-54-9P 183506-55-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diazabicyclo[3.3.0]octanols prepn. and structure-related

### 10000389

immunomodulating effect)

RN 183290-18-8 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, tetrahydro-6-hydroxy-2-phenyl-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 183290-19-9 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3-chlorophenyl)tetrahydro-6-hydroxy-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 183506-52-7 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(4-chlorophenyl)tetrahydro-6-hydroxy-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 183506-53-8 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, tetrahydro-6-hydroxy-2-phenyl-, (6R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 183506-54-9 CAPLUS

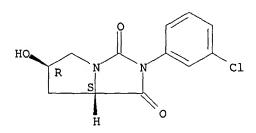
CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(4-chlorophenyl)tetrahydro-6-hydroxy-, (6R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 183506-55-0 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3-chlorophenyl)tetrahydro-6-hydroxy-, (6R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:557650 CAPLUS

DOCUMENT NUMBER: 121:157650

TITLE: Preparation of Pyrrolo[1,2-c]imidazoledione Bicyclic

Imides as Herbicides

INVENTOR(S): Schaefer, Matthias; Drauz, Karlheinz; Feit, Dieter;

Amuti, Kofi Sam

PATENT ASSIGNEE(S): Degussa A.-G., Germany SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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APPLICATION NO.
                                                                        DATE
                          KIND DATE
     PATENT NO.
                                                   _____
                          ____
                                                   WO 1993-EP2413
                                                                        19930906
                                 19940317
                          A1
     WO 9405668
          W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                                   EP 1993-919285
                           A1
                                 19950628
     EP 659187
                                 20001115
                           В1
     EP 659187
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE
                                                   JP 1993-506893
                                                                        19930906
                           T2
                                 19960220
     JP 08501537
                                                   AU 1993-49583
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     AU 670967
                                                                        19930906
                                 19990629
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     BR 9307041
                           Α
                                                   AT 1993-919285
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     AT 197587
                           Ε
                                 20001215
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     ES 2151515
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                                 20010101
                                                   DE 1993-9321642 19930906
     DE 9321642
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                                                                        19930908
                                                   ZA 1993-6635
     ZA 9306635
                           Α
                                 19940518
                                                                        19950310
                                                   US 1995-397282
     US 5605877
                                  19970225
                           Α
                                                US 1992-942800
                                                                  A 19920910
PRIORITY APPLN. INFO.:
                                                DE 1993-69329683 A 19930906
                                                WO 1993-EP2413 W 19930906
                             MARPAT 121:157650
OTHER SOURCE(S):
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Bicyclic imides I (Q = Ph, heteroaryl, etc.; R = hydroxy, halo, cyano, etc.) and unsatd. derivs. of I are claimed. I were prepd. from aryl isocyanates and proline derivs. An example compd., 2-[4-chloro-2-fluoro-5-(1-methylethoxy) phenyl]-6-fluorotetrahydro-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione (II) was prepd. as mixt. of racemic diastereomers. Herbicidal activity of many I was reported. 157299-29-1P 157299-30-4P 157299-31-5P 157299-32-6P 157299-33-7P 157299-34-8P 157299-35-9P 157379-56-1P 157379-57-2P 157379-58-3P 157379-59-4P 157379-60-7P 157379-61-8P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide) 157299-29-1 CAPLUS RN Benzoic acid, 2-chloro-4-fluoro-5-[tetrahydro-1,3-dioxo-6-CN [(trimethylsilyl)oxy]-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-, 1-methylethyl ester, (6S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-30-4 CAPLUS

CN Benzoic acid, 5-[6-(acetyloxy)tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2-chloro-4-fluoro-, 1-methylethyl ester, (6R-trans)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157299-31-5 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157299-32-6 CAPLUS

CN Carbonic acid, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl methyl ester, (6S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157299-33-7 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-[(methylsulfonyl)oxy]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-34-8 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-methoxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 157299-35-9 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(4-chlorophenyl)tetrahydro-6-hydroxy- (9CI) (CA INDEX NAME)

RN 157379-56-1 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-hydroxy-, (6S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-57-2 CAPLUS

CN Benzoic acid, 5-[6-(acetyloxy)tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2-chloro-4-fluoro-, 1-methylethyl ester, (6S-cis)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-58-3 CAPLUS

CN Carbonic acid, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl methyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-59-4 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-hydroxy-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-60-7 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157379-61-8 CAPLUS

CN Benzoic acid, 2-chloro-4-fluoro-5-(tetrahydro-6-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-, 1-methylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1993:6973 CAPLUS

DOCUMENT NUMBER:

118:6973

TITLE:

Preparation of tetrahydroimidazo[1,5-a]pyridine-1,3-diones and tetrahydropyrrolo[1,2-c]imidazole-1,3-

diones as herbicides

INVENTOR(S): Seckinger, Karl; Milzner, Karlheinz; Kuhnen, Fred;

Mohanty, Sasank Sekhar

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.;

Sandoz-Erfindungen Verwaltungsgesellschaft m.b.h.

SOURCE: Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	A1 B1		EP 1991-810980	19911216
R: AT, BE,	CH, DE	, DK, ES, F	R, GB, GR, IT, LI, LU,	NL, SE
HU 62296			ни 1991-3793	
AU 9189792	A1	19920625	AU 1991-89792	19911216
AU 642062	В2	19931007		
BR 9105453	Α	19920825	BR 1991-5453	19911216
AT 154355	E	19970615	AT 1991-810980	19911216
ES 2104683	Т3	19971016	ES 1991-810980	19911216
CA 2057838	AA	19920619	CA 1991-2057838	19911217
JP 04308591	A2	19921030	JP 1991-333269	19911217
JP 3112533	B2	20001127		
RU 2060250	C1	19960520	RU 1991-5010585	19911217
ZA 9109966	Α	19930618	ZA 1991-9966	19911218
US 5482921	Α	19960109	US 1992-984716	19921202
PRIORITY APPLN. INFO	. :		GB 1990-27426 A	19901218
			GB 1991-11973 A	19910604
			US 1991-808247 Bl	19911212

OTHER SOURCE(S): MARPAT 118:6973

GI

$$R^{1}$$
 $(CH_{2})_{n}$ 
 $R^{2}$ 
 $(R^{3})_{m}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 

AB Title compds. [I; R1 = H, alkyl, alkenyl, halo; R2, R4 = H, halo; R3 = OH, O, alkanoyloxy, alkylsulfonyloxy, alkoxy, alkylenedioxy, halo; R5 = halo, cyano, alkyl; R6 = halo, NO2, amino, cyano, alkynyl, alkenyloxy,

alkynyloxy, (substituted) alkyl, alkenyl, alkoxycarbonylalkyl, alkoxycarbonyloxy, alkylthioalkyl, alkylsulfonylalkyl, alkylsulfonyl, alkylsulfonyloxy, alkoxyalkoxy, (modified) carboxy, etc.; R5R6 = atoms to form a (substituted) bicyclic ring contg. 9-10 atoms; X1, X2 = 0, S; m,n = 0, 1], were prepd. as herbicides (no data). Thus, 4-chloro-2-fluoro-5-isopropoxyphenyl isocyanate and Me 4-hydroxy-2-piperidinecarboxylate were stirred 3 h in PhMe to give title compd. II.

IT 144913-12-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 144913-12-2 CAPLUS

CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]tetrahydro-6-hydroxy-, (6R-cis)- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:639444 CAPLUS

DOCUMENT NUMBER: 93:239444

TITLE: Perhydropyrroloimidazole derivatives

INVENTOR(S): Shigematsu, Taichiro; Yoshida, Kenji; Nakazawa,

Makoto; Kasugai, Hiroyuki; Tsuda, Masataka

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	<del></del>			
JP 55040653	A2	19800322	JP 1978-114787	19780919

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- AB 3,5-Cl2C6H3NCO (5.64 g) in PhCl was added to an aq. mixt. of 3.93 g hydroxy-L-proline and 1.2 g NaOH and the whole stirred 2 h at room temp. to give 54.3% 2-(3,5-dichlorophenylcarbamoyl)-4-hydroxy-L-proline, which (3.18 g) was stirred with concd. HCl 2 h at 120.degree. to give 46.3% I (R = H). I (R = MeCO, PrCO) were similarly prepd. Antibacterial data of I were given against Botrytis cinerea.
- RN 75707-94-7 CAPLUS
  CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-(3,5-dichlorophenyl)tetrahydro-6-hydroxy- (9CI) (CA INDEX NAME)

- RN 75707-95-8 CAPLUS
- CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-(acetyloxy)-2-(3,5-dichlorophenyl)tetrahydro- (9CI) (CA INDEX NAME)

- RN 75707-96-9 CAPLUS
- CN Butanoic acid, 2-(3,5-dichlorophenyl)hexahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-6-yl ester (9CI) (CA INDEX NAME)

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NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
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NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                now available on STN
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NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
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=> d L1 HAS NO ANSWERS

L1 STR

G1 O, S, N

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SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 20:14:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.02

L3 1 SEA SSS FUL L1

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REG - RN

SAM - Index Name, MF, and structure - no RN FIDE - All substance data, except sequence data

IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data

SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used

SQD - Protein sequence data, includes RN

SQD3 - Same as SQD, but 3-letter amino acid codes are used

SQN - Protein sequence name information, includes RN

CALC - Table of numeric properties

PROP - Same as CALC

ABS -- Abstract

APPS -- Application and Priority Information

BIB -- CA Accession Number, plus Bibliographic Data

CAN -- CA Accession Number

CBIB -- CA Accession Number, plus Bibliographic Data (compressed)

IND -- Index Data

IPC -- International Patent Classification

PATS -- PI, SO

STD -- BIB, IPC, and NCL

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IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB ------ AN, plus Bibliographic Data (original)
OIBIB ------ OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
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## ENTER DISPLAY FORMAT (IDE):all

- L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
- RN 433289-16-8 REGISTRY
- CN 1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6-(4-bromophenoxy)-2-(3,5-dichlorophenyl)tetrahydro-, (6S,7aS)- (9CI) (CA INDEX NAME)
  OTHER NAMES:
- CN (7AS, 6S) -2-(3,5-dichlorophenyl) -6-(4-bromophenoxy) tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
- FS STEREOSEARCH
- MF C18 H13 Br C12 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

### Ring System Data

Elemental	Elemental	Size of	Ring System	Ring	RID
Analysis	Sequence	the Rings	Formula	Identifier	Occurrence
	ES				Count
	· :+=========	+========	+========	+========	+=== <b>==</b>
C6		6	C6	46.150.18  180.254.1	12

Absolute stereochemistry.

## Calculated Properties (CALC)

CODE	PROPERTY	VALUE		IDITION	•	
====== HD	+=====================================	======================================	т І		IACD	
	H acceptors	15	i		•	(1)
HAC	Molecular Weight	1456.12	i		ACD	
MW		14.257+/-0.689	i		ACD	
LOGP	logP  Freely Rotatable Bon	•	i		•	(1)
FRB		14.26	Hq	1	•	(1)
LOGD	llogD	14.26	pH		•	(1)
LOGD	llogD	14.26	Hq		•	(1)
LOGD	llogD	•			,	(1)
	logD	14.26	pH			
LOGD	logD	14.26	Hq			(1)
SLB.MOL	Molar Solubility	<0.01~mol/L	pH			(1)
SLB.MOL	Molar Solubility	<0.01~mol/L	рH	4	ACD	(1)
	Molar Solubility	<0.01  mol/L	pH	7	ACD	(1)
	Molar Solubility	<0.01 mol/L	Hq	8	ACD	(1)
	Molar Solubility	<0.01 mol/L	pH	10	ACD	(1)

- (1) Calculated using Advanced Chemistry Development (ACD) Software Solaris V4.76 ((C) 1994-2002 ACD)
  - 1 REFERENCES IN FILE CA (1967 TO DATE)
  - 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

## REFERENCE 1

- AN 137:6181 CA
- TI Preparation of fused hydantoins as antiinflammatories.
- IN Iwanowicz, Edwin J.; Dhar, Murali T. G.; Launay, Michele; Potin, Dominique; Maillet, Magali Jeannine Blandine; Nicolai, Eric Antoine
- PA Bristol-Myers Squibb Company, USA; Cerep SA
- SO PCT Int. Appl., 72 pp. CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM C07D487-04
  - ICS A61K031-4188; A61P029-00
- CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 34

FAN.CNT 1

PAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2002044181	A1	20020606	WO 2001-US45540	20011130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI US 2000-250653P 20001201 US 2001-727165P 20010228

$$\mathbb{R}^{4}$$
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Title compds. [I; L, K = O, S; M = N, CH; Y = CH, N; Z = H, (substituted) AB alkyl; T = N, CH, CR3; R1 = QX; X = (hetero)aryl; Q = bond, O, NR10, S, CO, CO2, NR10CO, NR10CO2, (substituted) alkylene, alkenylene, bivalent alkoxy, alkylthio, alkylamino, aminoalkyl, alkylsulfonyl, alkylsulfonamide, acyl, alkoxycarbonyl; R1R3 = fused carbocyclyl, heterocyclyl; R3 = halo, (substituted) alkyl, alkenyl, alkynyl, NO2, cyano, OR8, NR8R9, CO2R8, COR8, CONR8R9, NR8COR9, NR8CO2R9, OC(O)R8, OC(O)NR8R9, SR8, SOqR8a, NR8SO2Rg, SO2NR5Rq, aryl, heteroaryl, heterocyclo, cycloalkyl, O; 2 adjacent R3 form a (substituted) carbocyclic or heterocyclic fused ring; R4a, R4b = H, halo, (substituted) alkyl, alkenyl, alkynyl, NO2, cyano, OH, alkoxy, alkoxy, PhO, PhCH2O, CO2H, CHO, amino, CO2A, COA, alkylthio; A = alkyl; R8, R9 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, heteroaryl, heterocyclyl; R8R9 = atoms to form a heterocyclic ring; R8a = (substituted) alkyl, cycloalkyl, aryl, heteroaryl, heterocyclo; R10 = H, (substituted) alkyl; Q1 = (CH2)s; Q2 = (CH2)r; n, s = 0, 1, 2; q = 1, 2, 3; r = 1, 2; with provisos], were prepd. as inhibitors of leukointegrin/ICAM assocd. conditions (no data). Thus, a mixt. of (7aS,6R)-2-(3,5-dichlorophenyl)-6hydroxytetrahydropyrrolo[1,2-c]imidazole-1,3-dione (prepn. given), Ph3P, and 4-bromophenol in THF at 0.degree. was treated with diisopropyl azodicarboxylate (DIAD) in THF followed by warming to room temp. overnight to give (7aS,6S)-2-(3,5-dichlorophenyl)-6-(4-bromophenoxy)tetrahydropyrrol o[1,2-c]imidazole-1,3-dione.

pyrroloimidazoledione prepn antiinflammatory; hydantoin fused prepn antiinflammatory; leukointegrin icam assocd condition treatment fused hydantoin; imidazopyrazinedione prepn antiinflammatory

IT Integrins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (leukointegrins, interaction inhibitors; prepn. of fused hydantoins as
 antiinflammatories)

IT Anti-inflammatory agents

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Human
        (prepn. of fused hydantoins as antiinflammatories)
     433289-35-1P
IT
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (prepn. of fused hydantoins as antiinflammatories)
     433289-16-8P, (7AS,6S)-2-(3,5-dichlorophenyl)-6-(4-
IT
     bromophenoxy) tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
                                                                433289-17-9P,
     5-[2-(4-Chlorophenyl)ethyl]-2-(3,5-dichlorophenyl)tetrahydropyrrolo[3,4-
                                  433289-18-0P, 7-[2-(4-Bromophenyl)ethyl]-2-
     c]pyrrole-1,3(2H,3aH)-dione
     (3,5-dichlorophenyl)tetrahydroimidazo[1,5-a]pyrazine-1,3-dione
                                                                      433289-19
     -1P, 7-[2-(4-Bromophenyl)-1-methyl-2-oxoethyl]-2-(3,5-
     dichlorophenyl) tetrahydroimidazo[1,5-a]pyrazine-1,3-dione
                                                                 433289-20-4P
                                   433289-23-7P, 5-[2-(4-Bromophenyl)-2-
     433289-21-5P
                    433289-22-6P
     oxoethyl]-2-(3,5-dichlorophenyl)tetrahydropyrrolo[3,4-c]pyrrole-1,3-dione
     433289-24-8P, 2-(3,5-Dichlorophenyl)-5-naphthalen-2-
     ylmethyltetrahydropyrrolo[3,4-c]pyrrole-1,3-dione
                                                         433289-25-9P,
     (7AS, 6S) -2-(3,5-dichlorophenyl)-6-(4-bromobenzoyloxy) tetrahydropyrrolo[1,2-
                             433289-26-0P, 10a-(4-Bromobenzyl)-2-(3,5-
     c]imidazole-1,3-dione
     dichlorophenyl)-10,10a-dihydro-5H-imidazo[1,5-b]isoquinoline-1,3-dione
     433289-27-1P, (6S,7AS)-6-(4-bromobenzyloxy)-2-(3,5-
     dichlorophenyl)tetrahydropyrrolo[1,2-c]imidazole-1,3-dione
                                                                  433289-28-2P
                                                  433289-32-8P
                                                                  433289-33-9P
                    433289-30-6P
                                   433289-31-7P
     433289-29-3P
                                                                  433289-39-5P
                                   433289-37-3P
                                                  433289-38-4P
                    433289-36-2P
     433289-34-0P
                                                  433289-43-1P
                                                                  433289-44-2P
                                   433289-42-0P
                    433289-41-9P
     433289-40-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of fused hydantoins as antiinflammatories)
     51-35-4, L-trans-4-Hydroxyproline 96-32-2, Methyl bromoacetate
                                                                         99-73-0
IT
                                  106-41-2, 4-Bromophenol
                                                             156-41-2,
     , 2,4'-Dibromoacetophenone
                                                                         589-15-
                                     586-75-4, 4-Bromobenzoyl chloride
     2-(4-Chlorophenyl)ethylamine
                               1746-28-7, 1-Bromo-4-(2-bromoethyl)benzene
     1, 4-Bromobenzyl bromide
                                      17201-43-3, 4-Bromomethylbenzonitrile
     10406-25-4, 4-Cyanobenzylamine
     19552-10-4, 4-Bromobenzyl mercaptan 24096-53-5,
     N-(3,5-Dichlorophenyl) succinimide 34893-92-0, 3,5-Dichlorophenyl
                                            81102-38-7 144527-33-3
                               77497-95-1
                  74844-91-0
     isocyanate
                   433289-69-1
     183742-29-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of fused hydantoins as antiinflammatories)
                                                                 433289-45-3P
                                                  336817-65-3P
                                  203512-41-8P
                   203512-40-7P
IT
     84520-67-2P
                                                                  433289-50-0P
                                                   433289-49-7P
                                    433289-48-6P
     433289-46-4P
                    433289-47-5P
                                                   433289-54-4P
                                                                  433289-55-5P
                                    433289-53-3P
                    433289-52-2P
     433289-51-1P
                                    433289-58-8P
                                                   433289-59-9P
                                                                  433289-62-4P
     433289-56-6P
                    433289-57-7P
                                                                  433289-67-9P
                                    433289-65-7P
                                                   433289-66-8P
                    433289-64-6P
     433289-63-5P
                    433289-70-4P
     433289-68-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (prepn. of fused hydantoins as antiinflammatories)
              THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
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        19
(1) American Chemical Society; COMGENEX PRODUCT
 (2) American Chemical Society; COMGENEX PRODUCT
 (3) American Chemical Society; COMGENEX PRODUCT
 (4) American Chemical Society; COMGENEX PRODUCT
 (5) American Chemical Society; COMGENEX PRODUCT
 (6) American Chemical Society; COMGENEX PRODUCT
 (7) American Chemical Society; COMGENEX PRODUCT
 (8) American Chemical Society; COMGENEX PRODUCT
 (9) American Chemical Society; COMGENEX PRODUCT LIST 1999
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- (10) Boehringer Ingelheim Pharma; WO 9839303 A 1998 CAPLUS
- (11) Boehringer Ingelheim Pharma; WO 0107052 A 2001 CAPLUS
- (12) Deprez, P; TETRAHEDRON 1993, V49(18), P3781 CAPLUS
- (13) Deprez, P; TETRAHEDRON: ASYMMETRY 1991, V2(12), P1189 CAPLUS (14) Lepetit Spa; DE 2354086 A 1974 CAPLUS
- (15) Lilly Co Eli; WO 9808813 A 1998 CAPLUS
- (16) Lion Bioscience Ag; WO 0208227 A 2002 CAPLUS (17) Migawa, M; ORGANIC LETTERS 2000, V2(21), P3309 CAPLUS
- (18) Occelli, E; 1984 CAPLUS
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